

11575380

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* * * * * * * * * * Welcome to STN International * * * * * * * * *

NEWS 1 Web Page for STN Seminar Schedule - N. America
NEWS 2 JUL 28 CA/CAplus patent coverage enhanced
NEWS 3 JUL 28 EPFULL enhanced with additional legal status information from the epoline Register
NEWS 4 JUL 28 IFICDB, IFIPAT, and IFIUDB reloaded with enhancements
NEWS 5 JUL 28 STN Viewer performance improved
NEWS 6 AUG 01 INPADOCDB and INPAFAMDB coverage enhanced
NEWS 7 AUG 13 CA/CAplus enhanced with printed Chemical Abstracts page images from 1967-1998
NEWS 8 AUG 15 CAOLD to be discontinued on December 31, 2008
NEWS 9 AUG 15 CAplus currency for Korean patents enhanced
NEWS 10 AUG 27 CAS definition of basic patents expanded to ensure comprehensive access to substance and sequence information
NEWS 11 SEP 18 Support for STN Express, Versions 6.01 and earlier, to be discontinued
NEWS 12 SEP 25 CA/CAplus current-awareness alert options enhanced to accommodate supplemental CAS indexing of exemplified prophetic substances
NEWS 13 SEP 26 WPIDS, WPINDEX, and WPIX coverage of Chinese and and Korean patents enhanced
NEWS 14 SEP 29 IFICLS enhanced with new super search field
NEWS 15 SEP 29 EMBASE and EMBAL enhanced with new search and display fields
NEWS 16 SEP 30 CAS patent coverage enhanced to include exemplified prophetic substances identified in new Japanese-language patents
NEWS 17 OCT 07 EPFULL enhanced with full implementation of EPC2000
NEWS 18 OCT 07 Multiple databases enhanced for more flexible patent number searching
NEWS 19 OCT 22 Current-awareness alert (SDI) setup and editing enhanced
NEWS 20 OCT 22 WPIDS, WPINDEX, and WPIX enhanced with Canadian PCT Applications
NEWS 21 OCT 24 CHEMLIST enhanced with intermediate list of pre-registered REACH substances

NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
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NEWS IPC8 For general information regarding STN implementation of IPC 8

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ENTRY | TOTAL
SESSION |
|----------------------|---------------------|------------------|
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STRUCTURE FILE UPDATES: 18 NOV 2008 HIGHEST RN 1073232-10-6
DICTIONARY FILE UPDATES: 18 NOV 2008 HIGHEST RN 1073232-10-6

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TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

Please note that search-term pricing does apply when conducting SmartSELECT searches.

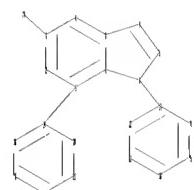
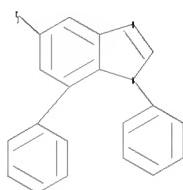
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<http://www.cas.org/support/stn/gen/stndoc/properties.html>

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=>

Uploading C:\Program Files\Stnexp\Queries\10573380.str



chain nodes :

24

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21

chain bonds :

1-19 3-24 6-13

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 16-17 16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

3-24 5-6 5-9 6-7 6-13 8-9

exact bonds :

1-19

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15 16-17
16-21 17-18 18-19 19-20 20-21

isolated ring systems :

containing 1 : 10 : 16 :

G1:CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO2, X, Ak

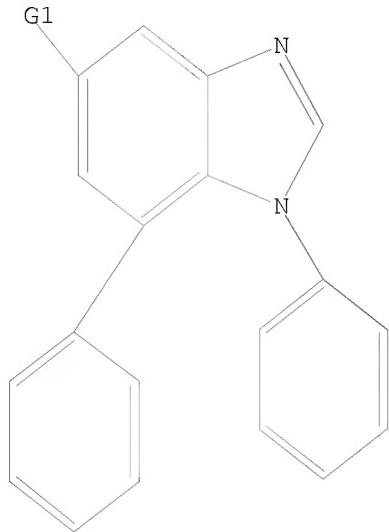
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 24:CLASS

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L1 STRUCTURE UPLOADED

=> d 11
L1 HAS NO ANSWERS
L1 STR



G1 CF₃, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO₂, X, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 11
SAMPLE SEARCH INITIATED 13:54:06 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 5 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
 BATCH **COMPLETE**
PROJECTED ITERATIONS: 286 TO 954
PROJECTED ANSWERS: 5 TO 234

L2 5 SEA SSS SAM L1

=> s 11 sss full
FULL SEARCH INITIATED 13:54:13 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 659 TO ITERATE

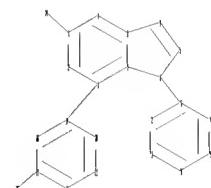
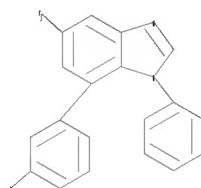
100.0% PROCESSED 659 ITERATIONS 76 ANSWERS
SEARCH TIME: 00.00.01

L3 76 SEA SSS FUL L1

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=>

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chain nodes :

24 26

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21

chain bonds :

1-19 3-24 6-13 17-26

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 16-17 16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

3-24 5-6 5-9 6-7 6-13 8-9 17-26

exact bonds :

1-19

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15 16-17
16-21 17-18 18-19 19-20 20-21

isolated ring systems :

containing 1 : 10 : 16 :

G1:CF3, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO2, X, Ak

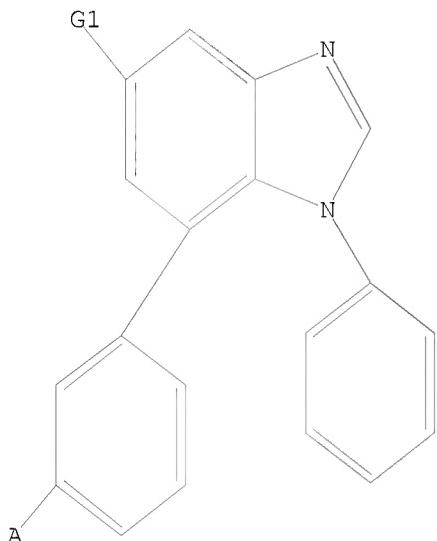
Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
20:Atom 21:Atom 24:CLASS 26:CLASS

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L4 STRUCTURE UPLOADED

=> d 14
L4 HAS NO ANSWERS
L4 STR



G1 CF₃, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO₂, X, Ak

Structure attributes must be viewed using STN Express query preparation.

=> s 14
SAMPLE SEARCH INITIATED 13:55:37 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 31 TO ITERATE

100.0% PROCESSED 31 ITERATIONS 4 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 286 TO 954
PROJECTED ANSWERS: 4 TO 200

L5 4 SEA SSS SAM L4

=> s 14 sss full
FULL SEARCH INITIATED 13:55:43 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 659 TO ITERATE

100.0% PROCESSED 659 ITERATIONS 59 ANSWERS
SEARCH TIME: 00.00.01

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L6 59 SEA SSS FUL L4

| | | | |
|----------------------|--|------------|---------|
| => FIL HCAPLUS | | SINCE FILE | TOTAL |
| COST IN U.S. DOLLARS | | ENTRY | SESSION |
| FULL ESTIMATED COST | | 357.18 | 357.39 |

FILE 'HCAPLUS' ENTERED AT 13:55:47 ON 19 NOV 2008
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FILE COVERS 1907 - 19 Nov 2008 VOL 149 ISS 21
FILE LAST UPDATED: 18 Nov 2008 (20081118/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

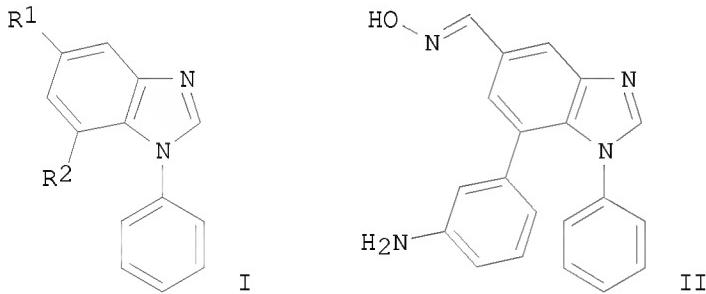
=> s 13
L7 3 L3

=> s 16
L8 3 L6

=> d 17 ibib abs hitstr tot

L7 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:395281 HCAPLUS
DOCUMENT NUMBER: 142:447213
TITLE: A preparation of 1,5,7-trisubstituted benzimidazole derivatives, useful as modulator of GABAA receptor
INVENTOR(S): Hamilton, Niall Morton; Napier, Susan Elizabeth; Easson, Morag Ann MacCall; Cooke, Andrew John; Teuber, Lene; Mirza, Naheed; Waetjen, Frank
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.; Neurosearch A/S
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---|------|--|-----------------|------------|
| WO 2005040131 | A1 | 20050506 | WO 2004-EP52582 | 20041020 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG | | | | |
| EP 1678144 | A1 | 20060712 | EP 2004-791257 | 20041020 |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK | | | | |
| JP 2007509108 | T | 20070412 | JP 2006-536085 | 20041020 |
| US 20070021482 | A1 | 20070125 | US 2006-575380 | 20060411 |
| PRIORITY APPLN. INFO.: | | | DK 2003-1566 | A 20031023 |
| | | | US 2003-513609P | P 20031024 |
| | | | WO 2004-EP52582 | W 20041020 |
| OTHER SOURCE(S):
GI | | CASREACT 142:447213; MARPAT 142:447213 | | |



- AB The invention relates to a preparation of 1,5,7-trisubstituted benzimidazole derivs. of formula I [wherein: R1 is halogen, CF3, CN, NO2, alkyl, or alkoxy, etc.; R2 is (un)substituted phenyl], useful as modulator of GABAa receptor. The invention compds. are useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of GABAa receptor. For instance, (aminophenyl)benzimidazole oxime derivative II ($IC_{50} = 0.0042 \mu\text{M}$) was prepared via reduction and N-formylation of 7-(3-aminophenyl)-5-cyano-1-phenylbenzimidazole and subsequent oxime-formation of the obtained 7-[3-(formylamino)phenyl]-5-formyl-1-phenylbenzimidazole (yields: reduction/formylation - 27%, oxime formation - 13%).
- IT 851230-13-2P, 5-Cyano-7-(4-hydroxymethylphenyl)-1-phenylbenzimidazole 851230-14-3P 851230-30-3P,
5-Ethoxycarbonyl-1-phenyl-7-(3-trifluoromethoxyphenyl)benzimidazole
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT

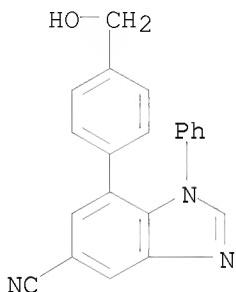
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(Reactant or reagent)

(intermediate; preparation of 1,5,7-trisubstituted benzimidazole derivs.
useful as modulator of GABAA receptor)

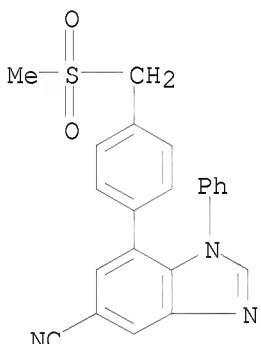
RN 851230-13-2 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-(hydroxymethyl)phenyl]-1-phenyl-
(CA INDEX NAME)



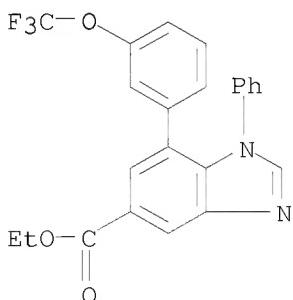
RN 851230-14-3 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-[(methylsulfonyl)methyl]phenyl]-1-phenyl-
(CA INDEX NAME)



RN 851230-30-3 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid,
1-phenyl-7-[3-(trifluoromethoxy)phenyl]-, ethyl ester (CA INDEX NAME)

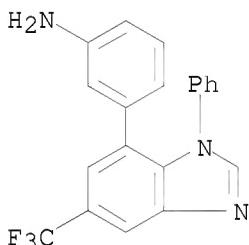


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IT 159726-00-8P, 7-(3-Aminophenyl)-1-phenyl-5-trifluoromethylbenzimidazole 851229-48-6P,
7-(3-Aminophenyl)-5-cyano-1-phenylbenzimidazole 851229-55-5P,
7-[3-(Hydroxymethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole
851229-57-7P, 7-(3-Acetamidophenyl)-5-ethoxycarbonyl-1-phenylbenzimidazole 851229-59-9P,
7-(3-Aminophenyl)-5-ethoxycarbonyl-1-phenylbenzimidazole
851229-60-2P, 5-(Ethoxycarbonyl)-7-[3-(hydroxymethyl)phenyl]-1-phenylbenzimidazole 851229-65-7P,
5-Cyano-7-(3-hydroxymethylphenyl)-1-phenylbenzimidazole
851229-87-3P, 5-Cyano-7-(4-hydroxyphenyl)-1-phenylbenzimidazole
851229-89-5P 851230-06-3P,
7-(3-Acetamidophenyl)-1-phenyl-5-trifluoromethylbenzimidazole
851230-34-7P, 7-(3-Acetylphenyl)-1-phenyl-5-trifluoromethylbenzimidazole 851230-44-9P,
7-[3-(1-Hydroxyethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole
851363-74-1P
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

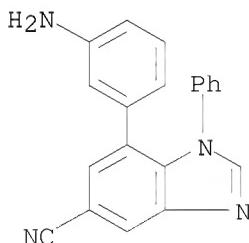
RN 159726-00-8 HCAPLUS

CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]-(CA INDEX NAME)



RN 851229-48-6 HCAPLUS

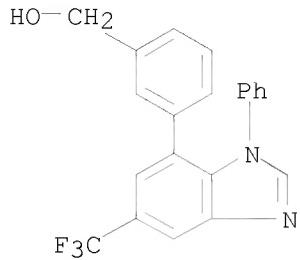
CN 1H-Benzimidazole-5-carbonitrile, 7-(3-aminophenyl)-1-phenyl-(CA INDEX NAME)



RN 851229-55-5 HCAPLUS

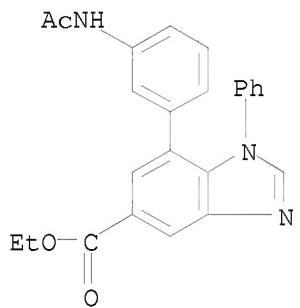
CN Benzenemethanol, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]-(CA INDEX NAME)

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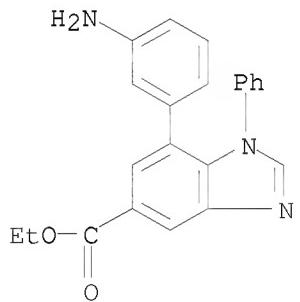
RN 851229-57-7 HCPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(acetylamino)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)



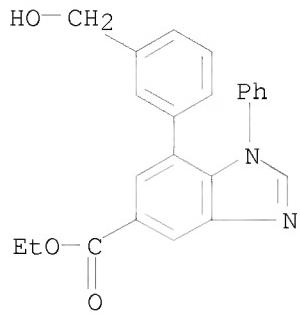
RN 851229-59-9 HCPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-(3-aminophenyl)-1-phenyl-, ethyl ester (CA INDEX NAME)



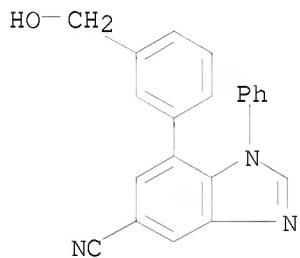
RN 851229-60-2 HCPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)



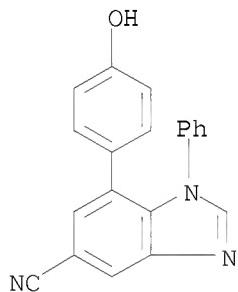
RN 851229-65-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(hydroxymethyl)phenyl]-1-phenyl- (CA INDEX NAME)



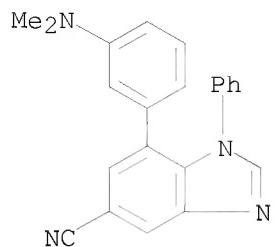
RN 851229-87-3 HCAPLUS

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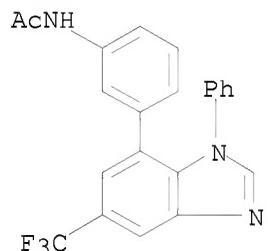


RN 851229-89-5 HCAPLUS

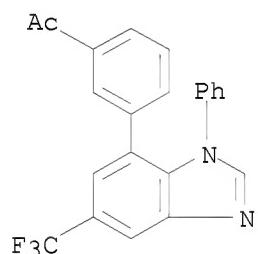
CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(dimethylamino)phenyl]-1-phenyl- (CA INDEX NAME)



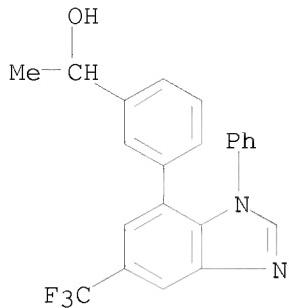
RN 851230-06-3 HCAPLUS
CN Acetamide, N-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]-
(CA INDEX NAME)



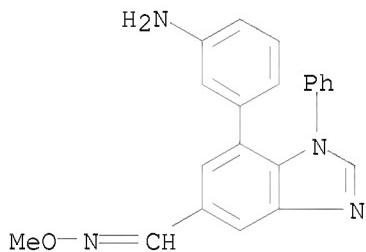
RN 851230-34-7 HCAPLUS
CN Ethanone, 1-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]-
(CA INDEX NAME)



RN 851230-44-9 HCAPLUS
CN Benzenemethanol, α -methyl-3-[1-phenyl-5-(trifluoromethyl)-1H-
benzimidazol-7-yl]- (CA INDEX NAME)



RN 851363-74-1 HCAPLUS
 CN 1H-Benzimidazole-5-carboxaldehyde, 7-(3-aminophenyl)-1-phenyl-,
 O-methyloxime (CA INDEX NAME)



IT 159726-03-1P, 1,7-Diphenyl-5-trifluoromethylbenzimidazole
 851229-46-4P, 7-(3-Chlorophenyl)-1-phenyl-5-
 trifluoromethylbenzimidazole 851229-47-5P 851229-56-6P
 , 1-Phenyl-7-[3-(1,2,3,6-tetrahydropyridin-1-ylmethyl)phenyl]-5-
 trifluoromethylbenzimidazole 851229-61-3P 851229-63-5P
 , 5-Cyano-7-(3-nitrophenyl)-1-phenylbenzimidazole 851229-66-8P
 851229-68-0P, 5-Cyano-7-[3-[(1-methylpiperazin-4-yl)methyl]phenyl]-
 1-phenylbenzimidazole 851229-69-1P 851229-73-7P,
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 , 5-Cyano-7-(3-methoxyphenyl)-1-phenylbenzimidazole 851229-84-0P
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 7-(3-Aminophenyl)-5-hydroxymethyl-1-phenylbenzimidazole
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 5-Ethoxycarbonyl-7-[3-[(dimethylamino)methyl]phenyl]-1-phenylbenzimidazole
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 851230-16-5P 851230-17-6P,
 7-(3-Acetamidophenyl)-5-hydroxymethyl-1-phenylbenzimidazole
 851230-18-7P 851230-19-8P,
 7-(3-Dimethylaminophenyl)-5-trifluoromethyl-1-phenylbenzimidazole
 851230-20-1P, 7-(3-Methylaminophenyl)-5-trifluoromethyl-1-

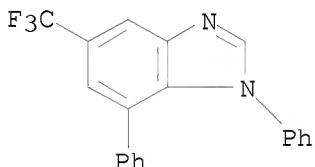
phenylbenzimidazole 851230-21-2P,
 1-Phenyl-7-[3-[(4-methylpiperazin-1-yl)methyl]phenyl]-5-trifluoromethylbenzimidazole 851230-23-4P 851230-24-5P
 , 7-[3-[(Dimethylamino)methyl]phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851230-25-6P,
 5-Cyano-7-[4-[2-(4-morpholino)ethoxy]phenyl]-1-phenylbenzimidazole 851230-26-7P 851230-27-8P,
 7-[3-(N-Methylacetamido)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851230-29-0P, 5-(Hydroxymethyl)-1-phenyl-7-(3-trifluoromethoxyphenyl)benzimidazole 851230-35-8P,
 7-(3-Fluorophenyl)-1-phenyl-5-trifluoromethylbenzimidazole 851230-40-5P, 5-tert-Butyl-7-(3-dimethylaminophenyl)-1-phenylbenzimidazole 851230-41-6P 851230-43-8P,
 7-[3-(1-Methoxyethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851230-56-3P 851230-58-5P,
 7-(3-Fluorophenyl)-5-methyl-1-phenylbenzimidazole 851230-59-6P 851230-89-2P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

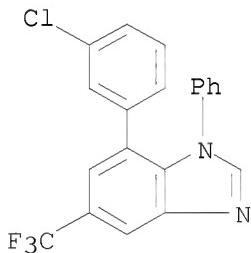
RN 159726-03-1 HCAPLUS

CN 1H-Benzimidazole, 1,7-diphenyl-5-(trifluoromethyl)- (CA INDEX NAME)



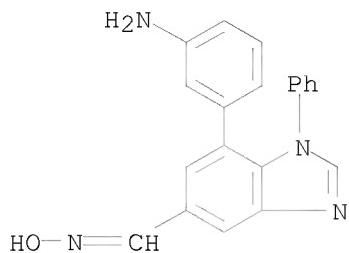
RN 851229-46-4 HCAPLUS

CN 1H-Benzimidazole, 7-(3-chlorophenyl)-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



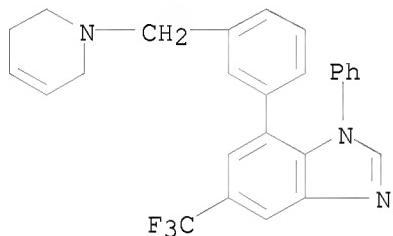
RN 851229-47-5 HCAPLUS

CN 1H-Benzimidazole-5-carboxaldehyde, 7-(3-aminophenyl)-1-phenyl-, oxime (CA INDEX NAME)



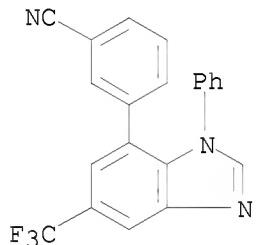
RN 851229-56-6 HCAPLUS

CN 1H-Benzimidazole, 7-[3-[(3,6-dihydro-1(2H)-pyridinyl)methyl]phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



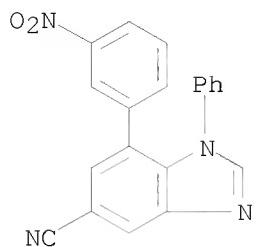
RN 851229-61-3 HCAPLUS

CN Benzonitrile, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)



RN 851229-63-5 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-nitrophenyl)-1-phenyl- (CA INDEX NAME)



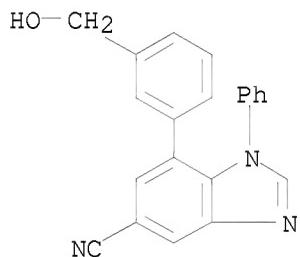
RN 851229-66-8 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-65-7

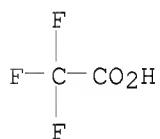
CMF C21 H15 N3 O



CM 2

CRN 76-05-1

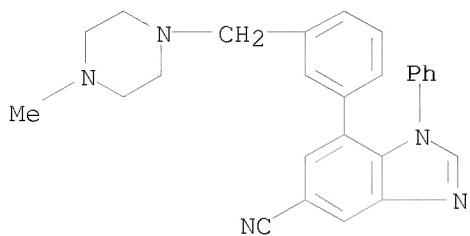
CMF C2 H F3 O2



RN 851229-68-0 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

11575380



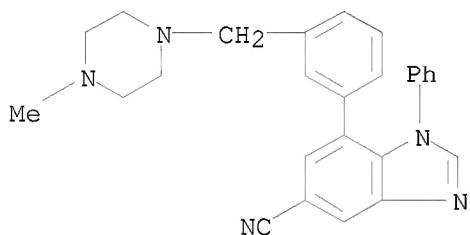
RN 851229-69-1 HCPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-68-0

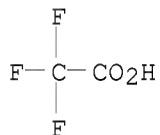
CMF C26 H25 N5



CM 2

CRN 76-05-1

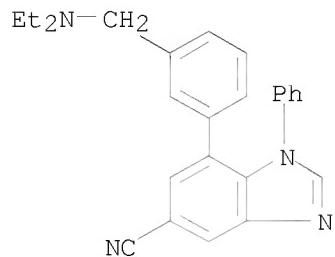
CMF C2 H F3 O2



RN 851229-73-7 HCPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(diethylamino)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

11575380



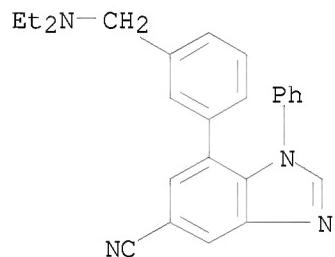
RN 851229-74-8 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(diethylamino)methyl]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851229-73-7

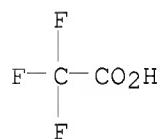
CMF C25 H24 N4



CM 2

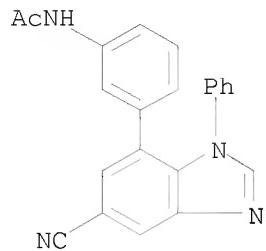
CRN 76-05-1

CMF C2 H F3 O2

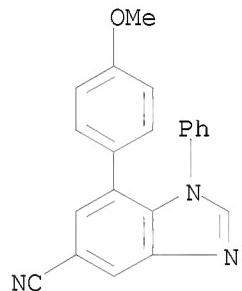


RN 851229-78-2 HCAPLUS

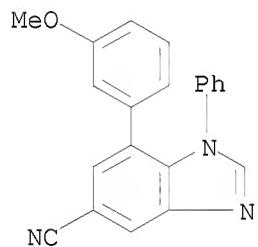
CN Acetamide, N-[3-(5-cyano-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA INDEX NAME)



RN 851229-80-6 HCAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 7-(4-methoxyphenyl)-1-phenyl- (CA INDEX NAME)

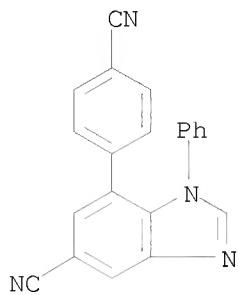


RN 851229-82-8 HCAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 7-(3-methoxyphenyl)-1-phenyl- (CA INDEX NAME)



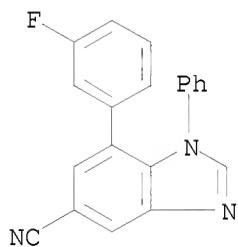
RN 851229-84-0 HCAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 7-(4-cyanophenyl)-1-phenyl- (CA INDEX NAME)

11575380



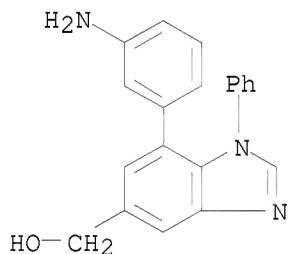
RN 851229-86-2 HCPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-fluorophenyl)-1-phenyl- (CA INDEX NAME)



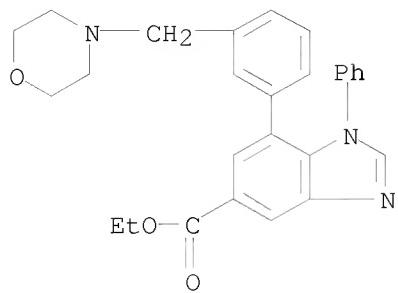
RN 851229-95-3 HCPLUS

CN 1H-Benzimidazole-5-methanol, 7-(3-aminophenyl)-1-phenyl- (CA INDEX NAME)



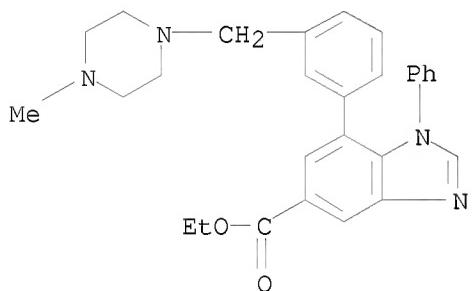
RN 851229-96-4 HCPLUS

CN 1H-Benzimidazole-5-carboxylic acid,
7-[3-(4-morpholinylmethyl)phenyl]-1-phenyl-, ethyl ester, hydrochloride
(1:1) (CA INDEX NAME)



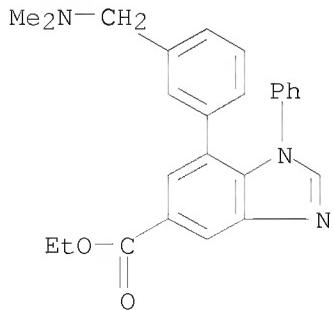
● HCl

RN 851229-98-6 HCPLUS

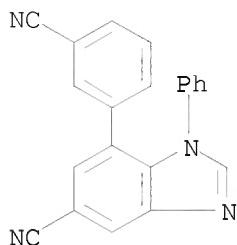
CN 1H-Benzimidazole-5-carboxylic acid,
7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-, ethyl ester,
hydrochloride (1:1) (CA INDEX NAME)

● HCl

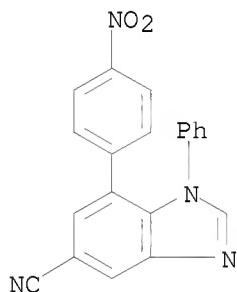
RN 851229-99-7 HCPLUS

CN 1H-Benzimidazole-5-carboxylic acid,
7-[3-[(dimethylamino)methyl]phenyl]-1-phenyl-, ethyl ester (CA INDEX
NAME)

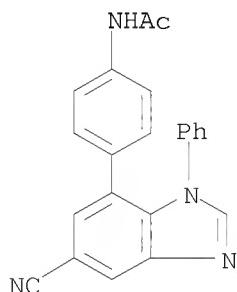
RN 851230-00-7 HCAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 7-(3-cyanophenyl)-1-phenyl- (CA INDEX NAME)



RN 851230-02-9 HCAPLUS
CN 1H-Benzimidazole-5-carbonitrile, 7-(4-nitrophenyl)-1-phenyl- (CA INDEX NAME)

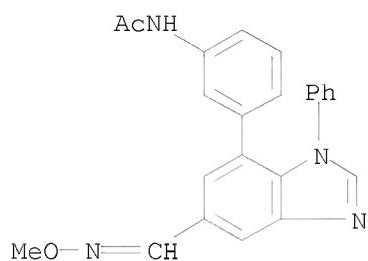


RN 851230-04-1 HCAPLUS
CN Acetamide, N-[4-(5-cyano-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA INDEX NAME)



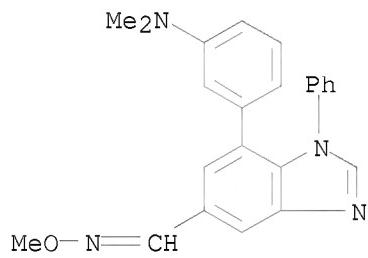
RN 851230-08-5 HCAPLUS
CN Acetamide, N-[3-[5-[(methoxyimino)methyl]-1-phenyl-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

11575380



RN 851230-10-9 HCAPLUS

CN 1H-Benzimidazole-5-carboxaldehyde, 7-[3-(dimethylamino)phenyl]-1-phenyl-, O-methyloxime (CA INDEX NAME)

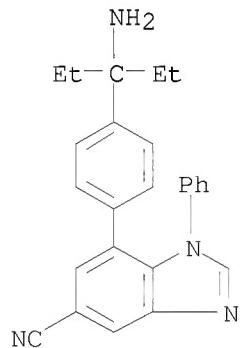


RN 851230-12-1 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-(1-amino-1-ethylpropyl)phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

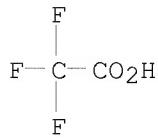
CRN 851230-11-0
CMF C25 H24 N4



CM 2

CRN 76-05-1
CMF C2 H F3 O2

11575380



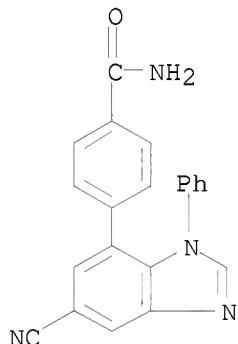
RN 851230-16-5 HCPLUS

CN Benzamide, 4-(5-cyano-1-phenyl-1H-benzimidazol-7-yl)-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-15-4

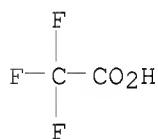
CMF C21 H14 N4 O



CM 2

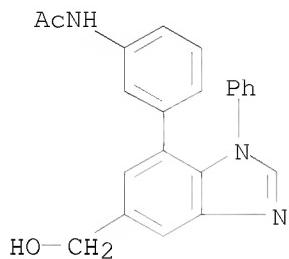
CRN 76-05-1

CMF C2 H F3 O2

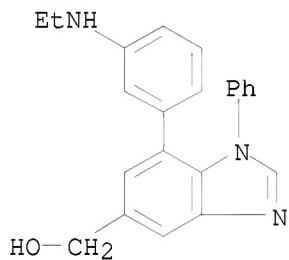


RN 851230-17-6 HCPLUS

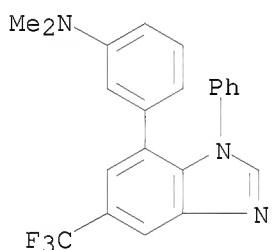
CN Acetamide, N-[3-[5-(hydroxymethyl)-1-phenyl-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)



RN 851230-18-7 HCAPLUS
 CN 1H-Benzimidazole-5-methanol, 7-[3-(ethylamino)phenyl]-1-phenyl- (CA INDEX NAME)

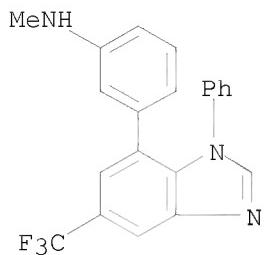


RN 851230-19-8 HCAPLUS
 CN Benzenamine, N,N-dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)



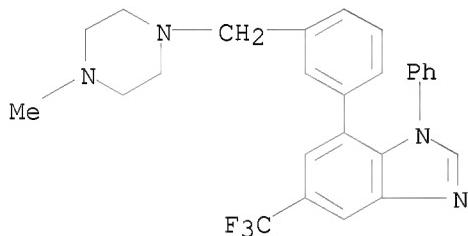
RN 851230-20-1 HCAPLUS
 CN Benzenamine, N-methyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

11575380



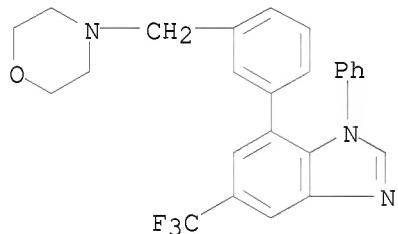
RN 851230-21-2 HCPLUS

CN 1H-Benzimidazole, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



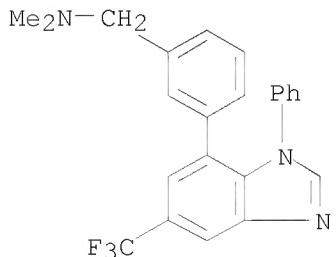
RN 851230-23-4 HCPLUS

CN 1H-Benzimidazole, 7-[3-[(4-morpholinylmethyl)phenyl]phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



RN 851230-24-5 HCPLUS

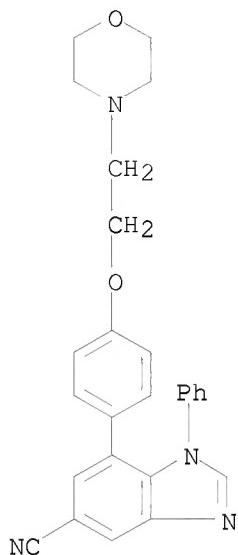
CN Benzenemethanamine, N,N-dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)



11575380

RN 851230-25-6 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1-phenyl- (CA INDEX NAME)



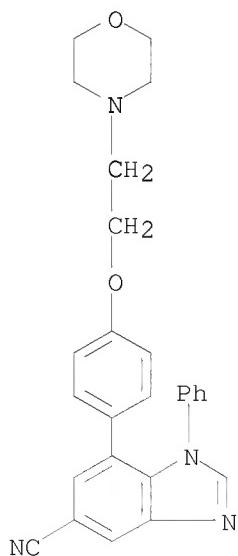
RN 851230-26-7 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[4-[2-(4-morpholinyl)ethoxy]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-25-6

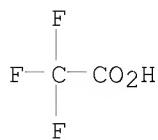
CMF C26 H24 N4 O2



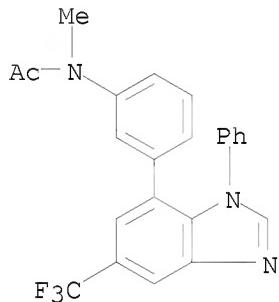
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CM 2

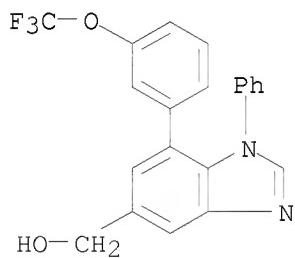
CRN 76-05-1
CMF C2 H F3 O2



RN 851230-27-8 HCAPLUS
CN Acetamide, N-methyl-N-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)

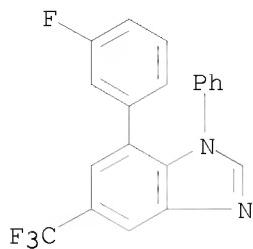


RN 851230-29-0 HCAPLUS
CN 1H-Benzimidazole-5-methanol, 1-phenyl-7-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)



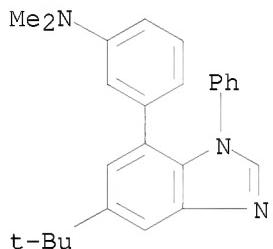
RN 851230-35-8 HCAPLUS
CN 1H-Benzimidazole, 7-(3-fluorophenyl)-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

11575380



RN 851230-40-5 HCPLUS

CN Benzenamine, 3-[5-(1,1-dimethylethyl)-1-phenyl-1H-benzimidazol-7-yl]-N,N-dimethyl- (CA INDEX NAME)



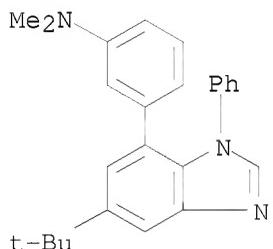
RN 851230-41-6 HCPLUS

CN Benzenamine, 3-[5-(1,1-dimethylethyl)-1-phenyl-1H-benzimidazol-7-yl]-N,N-dimethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-40-5

CMF C25 H27 N3

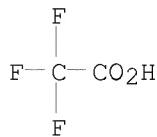


CM 2

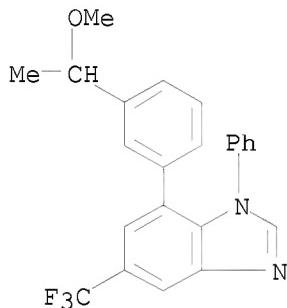
CRN 76-05-1

CMF C2 H F3 O2

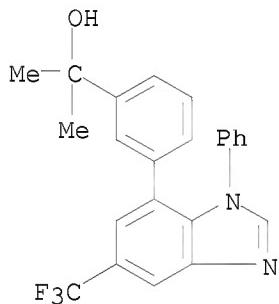
11575380



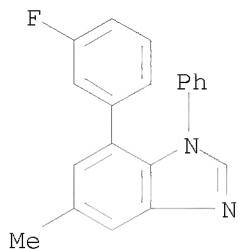
RN 851230-43-8 HCAPLUS
CN 1H-Benzimidazole, 7-[3-(1-methoxyethyl)phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



RN 851230-56-3 HCAPLUS
CN Benzenemethanol, α,α -dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)



RN 851230-58-5 HCAPLUS
CN 1H-Benzimidazole, 7-(3-fluorophenyl)-5-methyl-1-phenyl- (CA INDEX NAME)



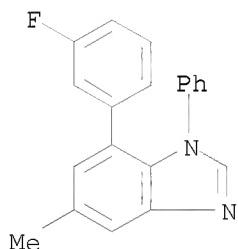
RN 851230-59-6 HCAPLUS

CN 1H-Benzimidazole, 7-(3-fluorophenyl)-5-methyl-1-phenyl-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-58-5

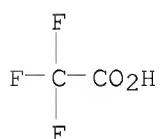
CMF C20 H15 F N2



CM 2

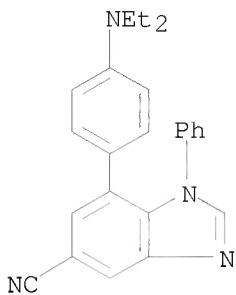
CRN 76-05-1

CMF C2 H F3 O2



RN 851230-89-2 HCAPLUS

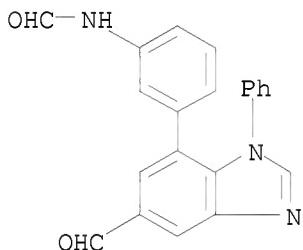
CN 1H-Benzimidazole-5-carbonitrile, 7-[4-(diethylamino)phenyl]-1-phenyl- (CA
INDEX NAME)



IT 851229-49-7P, 7-[3-(Formylamino)phenyl]-5-formyl-1-phenylbenzimidazole 851229-97-5P,
 5-Ethoxycarbonyl-7-[3-(chloromethyl)phenyl]-1-phenylbenzimidazole
 851230-22-3P, 7-[3-(Chloromethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

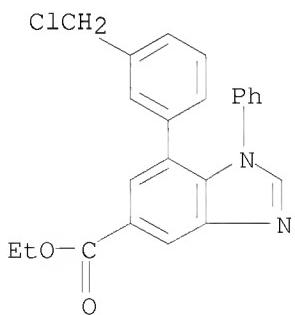
RN 851229-49-7 HCPLUS

CN Formamide, N-[3-(5-formyl-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA INDEX NAME)



RN 851229-97-5 HCPLUS

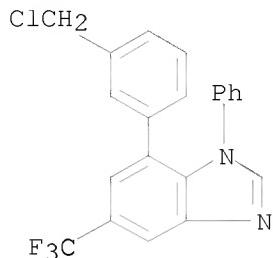
CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(chloromethyl)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)



RN 851230-22-3 HCPLUS

11575380

CN 1H-Benzimidazole, 7-[3-(chloromethyl)phenyl]-1-phenyl-5-(trifluoromethyl)-
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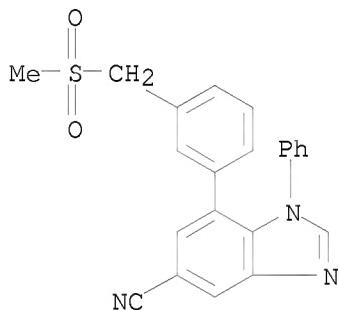


IT 851229-76-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 1,5,7-trisubstituted benzimidazole derivs. useful
as modulator of GABAA receptor)

RN 851229-76-0 HCPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(methylsulfonyl)methyl]phenyl]-1-
phenyl- (CA INDEX NAME)



REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L7 ANSWER 2 OF 3 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1996:580566 HCPLUS

DOCUMENT NUMBER: 125:300997

ORIGINAL REFERENCE NO.: 125:56339a, 56342a

TITLE: Benzimidazole compounds useful as benzodiazepine
receptor ligands

INVENTOR(S): Teuber, Lene; Axelsson, Oskar; Watjen, Frank

PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.

SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 207,774,
abandoned.

CODEN: USXXAM

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.

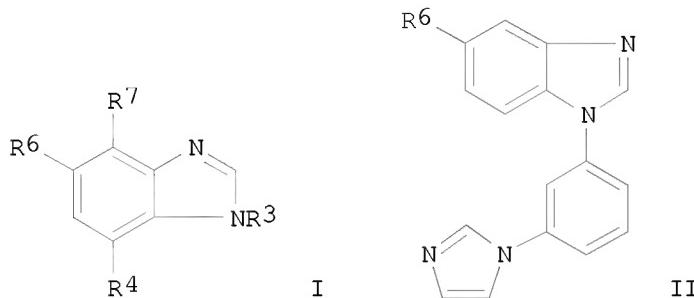
KIND DATE

APPLICATION NO.

DATE

| | | | | |
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| US 5554630 | A | 19960910 | US 1995-410572 | 19950324 |
| ZA 9402079 | A | 19941024 | ZA 1994-2079 | 19940324 |
| US 5554632 | A | 19960910 | US 1994-352585 | 19941209 |
| PRIORITY APPLN. INFO.: | | | DK 1993-337 | A 19930324 |
| | | | DK 1993-1055 | A 19930921 |
| | | | US 1994-207774 | B2 19940308 |

OTHER SOURCE(S): MARPAT 125:300997
GI

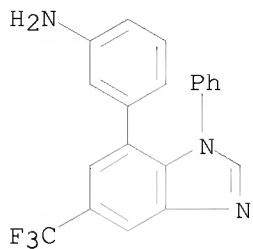


AB The invention discloses title compds. I [R3 = certain (un)substituted (hetero)aryl groups; R4 = H, NH₂, NO₂, cyano, halo, acylamino, (un)substituted aryl; or R4 forms bridges to aryl ring of R3; R6, R7 = H, halo, NH₂, NO₂, cyano, acylamino, CF₃, (un)substituted aryl; or R6 and R7 form certain optionally heteroatom-containing bridges] and their pharmaceutically acceptable salts, as well as the medical use of a broader class of 1-arylbenzimidazoles, including I. The compds. are useful for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders, and memory disorders. For example, 2-amino-3'-iodo-4-(trifluoromethyl)diphenylamine (preparation given) underwent cyclocondensation with formic acid at reflux, and coupling with imidazole in the presence of K₂CO₃ and CuBr at 200°, to give title compound II [R6 = CF₃]. In an in-vivo test for inhibition of [³H]-flunitrazepam specific binding to mouse forebrain GABA_A receptors, II [R6 = CF₃] had an ED₅₀ of 7.3 mg/kg i.p., and II [R6 = Me] had an ED₅₀ of 0.8 mg/kg i.p.

IT 159726-00-8P 159726-01-9P 159726-03-1P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as benzodiazepine receptor ligands)

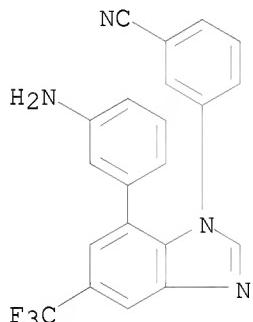
RN 159726-00-8 HCAPLUS
CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

11575380



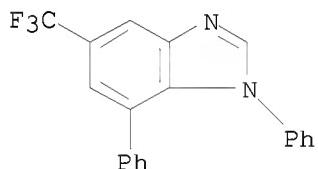
RN 159726-01-9 HCPLUS

CN Benzonitrile, 3-[7-(3-aminophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)



RN 159726-03-1 HCPLUS

CN 1H-Benzimidazole, 1,7-diphenyl-5-(trifluoromethyl)- (CA INDEX NAME)



L7 ANSWER 3 OF 3 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:252476 HCPLUS

DOCUMENT NUMBER: 122:31527

ORIGINAL REFERENCE NO.: 122:6227a,6230a

TITLE: Preparation of benzimidazole derivatives for the treatment of central nervous system disorders.

INVENTOR(S): Axelsson, Oskar; Teuber, Lene; Watjen, Frank

PATENT ASSIGNEE(S): Neurosearch A/S, Den.; Meiji Seika Kaisha Ltd.

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

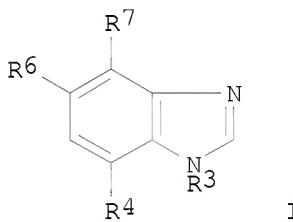
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

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| EP 616807 | A1 | 19940928 | EP 1994-610012 | 19940311 |
| EP 616807 | B1 | 19980708 | | |
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AT 168007
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CA 2119511
CA 2119511
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CN 1057088
FI 9401378
FI 113651
ZA 9402079
JP 07002838
JP 3466265 | DE, DK, ES, FR,
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B2 | 19940929
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19940324 |
| PRIORITY APPLN. INFO.: | | | DK 1993-337
DK 1993-1055 | A 19930324
A 19930921 |
| OTHER SOURCE(S):
GI | | MARPAT 122:31527 | | |



AB Benzimidazole compds. I (R3 = substituted Ph, pyridinyl, etc.; R4 = H, amino, nitro, etc.; R6, R7 = H, halo, cyano, nitro, etc.) were disclosed for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders.

IT 159726-00-8P 159726-01-9P 159726-03-1P

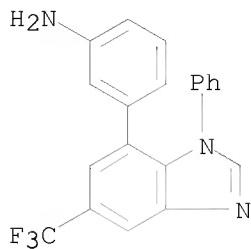
RL: SPN (Synthetic preparation); PREP (Preparation)

(preparation of benzimidazole derivs. GABA receptor antagonists or agonists)

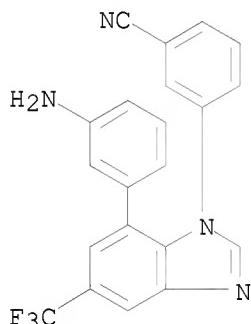
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CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

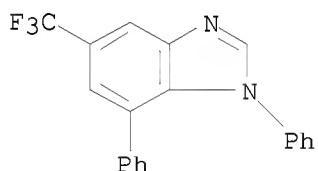
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RN 159726-01-9 HCAPLUS
CN Benzonitrile, 3-[7-(3-aminophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)



RN 159726-03-1 HCAPLUS
CN 1H-Benzimidazole, 1,7-diphenyl-5-(trifluoromethyl)- (CA INDEX NAME)



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L8 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:395281 HCAPLUS
DOCUMENT NUMBER: 142:447213
TITLE: A preparation of 1,5,7-trisubstituted benzimidazole derivatives, useful as modulator of GABAA receptor
INVENTOR(S): Hamilton, Niall Morton; Napier, Susan Elizabeth; Easson, Morag Ann MacCall; Cooke, Andrew John; Teuber, Lene; Mirza, Naheed; Waetjen, Frank
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.; Neurosearch A/S
SOURCE: PCT Int. Appl., 65 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

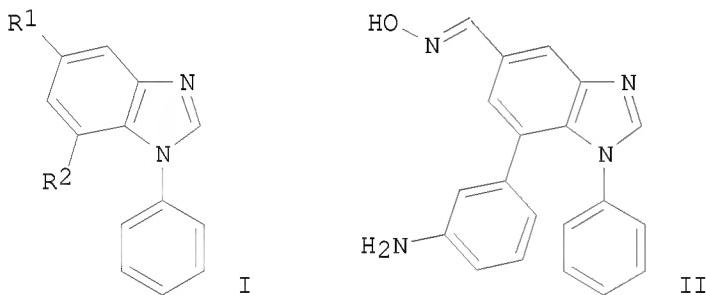
English

FAMILY ACC. NUM. COUNT:

1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| WO 2005040131 | A1 | 20050506 | WO 2004-EP52582 | 20041020 |
| W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,
NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,
SN, TD, TG | | | | |
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| JP 2007509108 | T | 20070412 | JP 2006-536085 | 20041020 |
| US 20070021482 | A1 | 20070125 | US 2006-575380 | 20060411 |
| PRIORITY APPLN. INFO.: | | | DK 2003-1566 | A 20031023 |
| | | | US 2003-513609P | P 20031024 |
| | | | WO 2004-EP52582 | W 20041020 |

OTHER SOURCE(S): CASREACT 142:447213; MARPAT 142:447213
GI

AB The invention relates to a preparation of 1,5,7-trisubstituted benzimidazole derivs. of formula I [wherein: R1 is halogen, CF3, CN, NO2, alkyl, or alkoxy, etc.; R2 is (un)substituted phenyl], useful as modulator of GABAa receptor. The invention compds. are useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of GABAa receptor. For instance, (aminophenyl)benzimidazole oxime derivative II ($IC_{50} = 0.0042 \mu M$) was prepared via reduction and N-formylation of 7-(3-aminophenyl)-5-cyano-1-phenylbenzimidazole and subsequent oxime-formation of the obtained 7-[3-(formylamino)phenyl]-5-formyl-1-phenylbenzimidazole (yields: reduction/formylation - 27%, oxime formation -

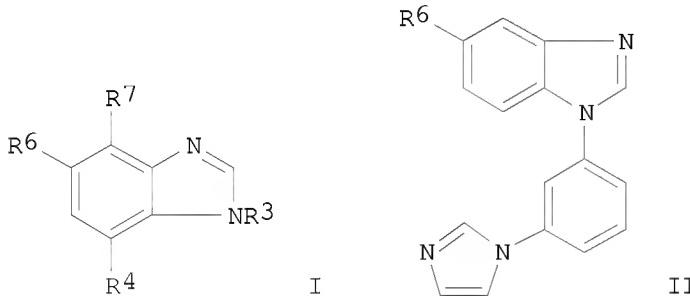
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REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L8 ANSWER 2 OF 3 HCPLUS COPYRIGHT 2008 ACS on STN
 ACCESSION NUMBER: 1996:580566 HCPLUS
 DOCUMENT NUMBER: 125:300997
 ORIGINAL REFERENCE NO.: 125:56339a, 56342a
 TITLE: Benzimidazole compounds useful as benzodiazepine receptor ligands
 INVENTOR(S): Teuber, Lene; Axelsson, Oskar; Watjen, Frank
 PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.
 SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 207,774, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 5554630 | A | 19960910 | US 1995-410572 | 19950324 |
| ZA 9402079 | A | 19941024 | ZA 1994-2079 | 19940324 |
| US 5554632 | A | 19960910 | US 1994-352585 | 19941209 |
| PRIORITY APPLN. INFO.: | | | DK 1993-337 | A 19930324 |
| | | | DK 1993-1055 | A 19930921 |
| | | | US 1994-207774 | B2 19940308 |

OTHER SOURCE(S): MARPAT 125:300997
 GI



AB The invention discloses title compds. I [R3 = certain (un)substituted (hetero)aryl groups; R4 = H, NH2, NO2, cyano, halo, acylamino, (un)substituted aryl; or R4 forms bridges to aryl ring of R3; R6, R7 = H, halo, NH2, NO2, cyano, acylamino, CF3, (un)substituted aryl; or R6 and R7 form certain optionally heteroatom-containing bridges] and their pharmaceutically acceptable salts, as well as the medical use of a broader class of 1-arylbenzimidazoles, including I. The compds. are useful for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders, and memory

disorders. For example, 2-amino-3'-iodo-4-(trifluoromethyl)diphenylamine (preparation given) underwent cyclocondensation with formic acid at reflux, and coupling with imidazole in the presence of K₂CO₃ and CuBr at 200°, to give title compound II [R₆ = CF₃]. In an in-vivo test for inhibition of [³H]-flunitrazepam specific binding to mouse forebrain GABA_A receptors, II [R₆ = CF₃] had an ED₅₀ of 7.3 mg/kg i.p., and II [R₆ = Me] had an ED₅₀ of 0.8 mg/kg i.p.

L8 ANSWER 3 OF 3 HCPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:252476 HCPLUS

DOCUMENT NUMBER: 122:31527

ORIGINAL REFERENCE NO.: 122:6227a,6230a

TITLE: Preparation of benzimidazole derivatives for the treatment of central nervous system disorders.

INVENTOR(S): Axelsson, Oskar; Teuber, Lene; Watjen, Frank

PATENT ASSIGNEE(S): Neurosearch A/S, Den.; Meiji Seika Kaisha Ltd.

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

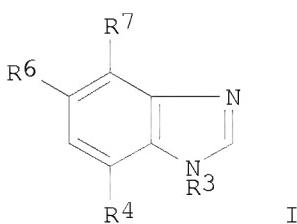
DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| EP 616807 | A1 | 19940928 | EP 1994-610012 | 19940311 |
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| AU 675484 | B2 | 19940929 | AU 1994-57521 | 19940303 |
| AT 168007 | T | 19970206 | | |
| ES 2119124 | T3 | 19981001 | AT 1994-610012 | 19940311 |
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| CN 1099391 | A | 19950301 | CN 1994-103348 | 19940323 |
| CN 1057088 | C | 20001004 | | |
| FI 9401378 | A | 19940925 | FI 1994-1378 | 19940324 |
| FI 113651 | B1 | 20040531 | | |
| ZA 9402079 | A | 19941024 | ZA 1994-2079 | 19940324 |
| JP 07002838 | A | 19950106 | JP 1994-78094 | 19940324 |
| JP 3466265 | B2 | 20031110 | | |
| PRIORITY APPLN. INFO.: | | | DK 1993-337 | A 19930324 |
| | | | DK 1993-1055 | A 19930921 |
| OTHER SOURCE(S): GI | MARPAT | 122:31527 | | |



AB Benzimidazole compds. I (R3 = substituted Ph, pyridinyl, etc.; R4 = H, amino, nitro, etc.; R6, R7 = H, halo, cyano, nitro, etc.) were disclosed for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders.

=> FILE REGISTRY

COST IN U.S. DOLLARS

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |

FULL ESTIMATED COST

| | |
|-------|--------|
| 41.22 | 398.61 |
|-------|--------|

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

| SINCE FILE | TOTAL |
|------------|---------|
| ENTRY | SESSION |

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| -4.80 | -4.80 |
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DICTIONARY FILE UPDATES: 18 NOV 2008 HIGHEST RN 1073232-10-6

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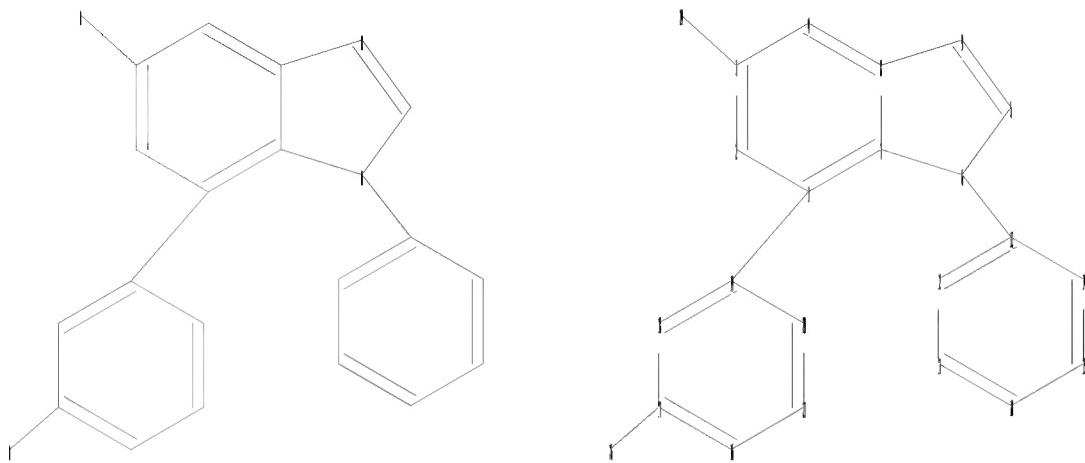
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<http://www.cas.org/support/stngen/stndoc/properties.html>

=>

Uploading C:\Program Files\Stnexp\Queries\10575380x.str

11575380



chain nodes :

24 26

ring nodes :

1 2 3 4 5 6 7 8 9 10 11 12 13 14 15 16 17 18 19 20 21

chain bonds :

1-19 3-24 6-13 17-26

ring bonds :

1-2 1-7 2-3 3-4 4-8 5-6 5-9 6-7 7-8 8-9 10-11 10-15 11-12 12-13 13-14
14-15 16-17 16-21 17-18 18-19 19-20 20-21

exact/norm bonds :

3-24 5-6 5-9 6-7 6-13 8-9 17-26

exact bonds :

1-19

normalized bonds :

1-2 1-7 2-3 3-4 4-8 7-8 10-11 10-15 11-12 12-13 13-14 14-15 16-17
16-21 17-18 18-19 19-20 20-21

isolated ring systems :

containing 1 : 10 : 16 :

G1:CF3,MeO,EtO,n-PrO,i-PrO,n-BuO,i-BuO,CN,NO2,X,Ak

Match level :

1:Atom 2:Atom 3:Atom 4:Atom 5:Atom 6:Atom 7:Atom 8:Atom 9:Atom 10:Atom
11:Atom 12:Atom 13:Atom 14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom
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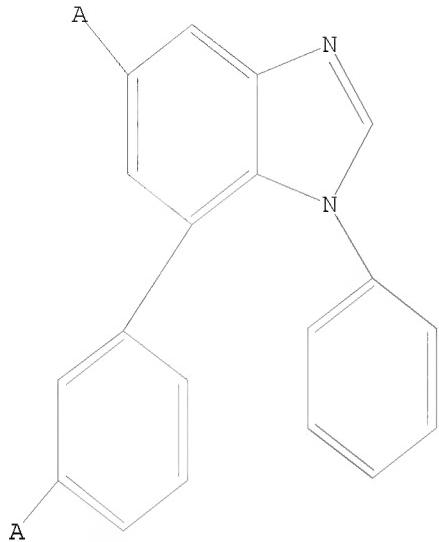
L9 STRUCTURE UPLOADED

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L9 HAS NO ANSWERS

L9 STR

11575380



G1 CF₃, MeO, EtO, n-PrO, i-PrO, n-BuO, i-BuO, CN, NO₂, X, Ak

Structure attributes must be viewed using STN Express query preparation.

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SAMPLE SCREEN SEARCH COMPLETED -      63 TO ITERATE

100.0% PROCESSED      63 ITERATIONS          4 ANSWERS
SEARCH TIME: 00.00.01
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FULL FILE PROJECTIONS:  ONLINE  **COMPLETE**
                        BATCH   **COMPLETE**
PROJECTED ITERATIONS:    784 TO     1736
PROJECTED ANSWERS:        4 TO      200
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L10 4 SEA SSS SAM L9

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FULL SEARCH INITIATED 13:59:34 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED -      1332 TO ITERATE

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                                ENTRY      SESSION
FULL ESTIMATED COST          178.36      576.97

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) SINCE FILE          TOTAL
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11575380

CA SUBSCRIBER PRICE

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-4.80

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FILE COVERS 1907 - 19 Nov 2008 VOL 149 ISS 21
FILE LAST UPDATED: 18 Nov 2008 (20081118/ED)

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This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s 111
L12 3 L11

=> d 112 ibib abs hitstr tot

L12 ANSWER 1 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 2005:395281 HCAPLUS
DOCUMENT NUMBER: 142:447213
TITLE: A preparation of 1,5,7-trisubstituted benzimidazole derivatives, useful as modulator of GABAA receptor
INVENTOR(S): Hamilton, Niall Morton; Napier, Susan Elizabeth; Easson, Morag Ann MacCall; Cooke, Andrew John; Teuber, Lene; Mirza, Naheed; Waetjen, Frank
PATENT ASSIGNEE(S): Akzo Nobel N.V., Neth.; Neurosearch A/S
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|---------------|------|----------|-----------------|----------|
| WO 2005040131 | A1 | 20050506 | WO 2004-EP52582 | 20041020 |

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH,
CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD,
GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC,
LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI,

NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY,
 RW: TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
 BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
 AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK,
 EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE,
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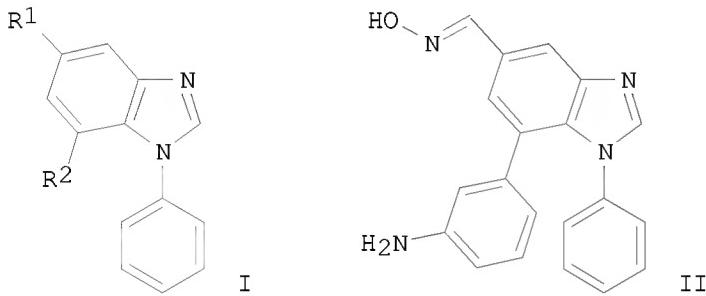
EP 1678144 A1 20060712 EP 2004-791257 20041020
 R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
 IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK

JP 2007509108 T 20070412 JP 2006-536085 20041020
 US 20070021482 A1 20070125 US 2006-575380 20060411

PRIORITY APPLN. INFO.: DK 2003-1566 A 20031023
 US 2003-513609P P 20031024
 WO 2004-EP52582 W 20041020

OTHER SOURCE(S): CASREACT 142:447213; MARPAT 142:447213

GI



AB The invention relates to a preparation of 1,5,7-trisubstituted benzimidazole derivs. of formula I [wherein: R1 is halogen, CF₃, CN, NO₂, alkyl, or alkoxy, etc.; R2 is (un)substituted phenyl], useful as modulator of GABA_A receptor. The invention compds. are useful in the treatment of central nervous system diseases and disorders, which are responsive to modulation of GABA_A receptor. For instance, (aminophenyl)benzimidazole oxime derivative II (IC₅₀ = 0.0042 μM) was prepared via reduction and N-formylation of 7-(3-aminophenyl)-5-cyano-1-phenylbenzimidazole and subsequent oxime-formation of the obtained 7-[3-(formylamino)phenyl]-5-formyl-1-phenylbenzimidazole (yields: reduction/formylation - 27%, oxime formation - 13%).

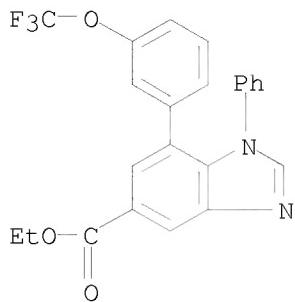
IT 851230-30-3P, 5-Ethoxycarbonyl-1-phenyl-7-(3-trifluoromethoxyphenyl)benzimidazole

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(intermediate; preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABA_A receptor)

RN 851230-30-3 HCPLUS

CN 1H-Benzimidazole-5-carboxylic acid,
 1-phenyl-7-[3-(trifluoromethoxy)phenyl]-, ethyl ester (CA INDEX NAME)

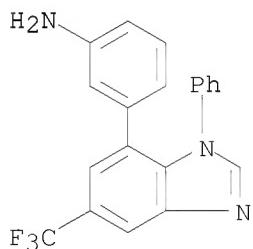


IT 159726-00-8P, 7-(3-Aminophenyl)-1-phenyl-5-trifluoromethylbenzimidazole 851229-48-6P,
 7-(3-Aminophenyl)-5-cyano-1-phenylbenzimidazole 851229-55-5P,
 7-[3-(Hydroxymethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole
 851229-57-7P, 7-(3-Aacetamidophenyl)-5-ethoxycarbonyl-1-phenylbenzimidazole 851229-59-9P,
 7-(3-Aminophenyl)-5-ethoxycarbonyl-1-phenylbenzimidazole
 851229-60-2P, 5-(Ethoxycarbonyl)-7-[3-(hydroxymethyl)phenyl]-1-phenylbenzimidazole 851229-65-7P,
 5-Cyano-7-(3-hydroxymethylphenyl)-1-phenylbenzimidazole
 851229-89-5P 851230-06-3P,
 7-(3-Aacetamidophenyl)-1-phenyl-5-trifluoromethylbenzimidazole
 851230-34-7P, 7-(3-Acetylphenyl)-1-phenyl-5-trifluoromethylbenzimidazole 851230-44-9P,
 7-[3-(1-Hydroxyethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole
 851363-74-1P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

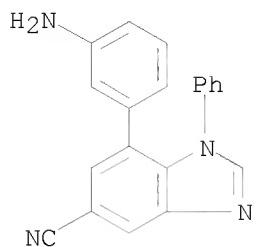
RN 159726-00-8 HCAPLUS

CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

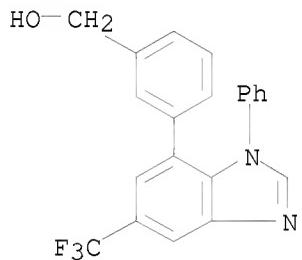


RN 851229-48-6 HCAPLUS

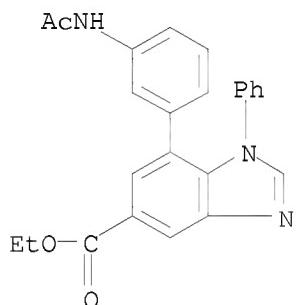
CN 1H-Benzimidazole-5-carbonitrile, 7-(3-aminophenyl)-1-phenyl- (CA INDEX NAME)



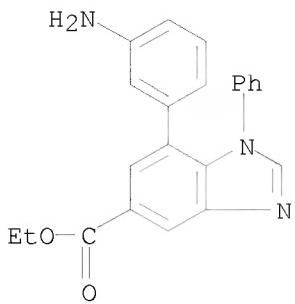
RN 851229-55-5 HCAPLUS
CN Benzenemethanol, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]-
(CA INDEX NAME)



RN 851229-57-7 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(acetylaminophenyl)-1-phenyl-, ethyl ester (CA INDEX NAME)

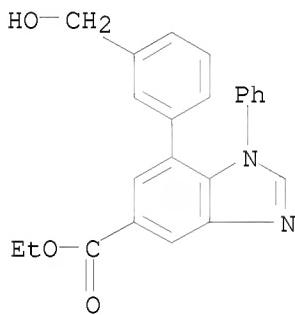


RN 851229-59-9 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid, 7-(3-aminophenyl)-1-phenyl-, ethyl ester (CA INDEX NAME)



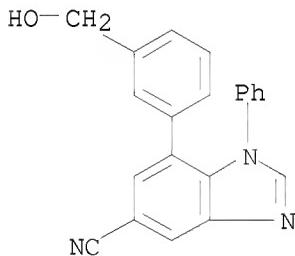
RN 851229-60-2 HCAPLUS

CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)



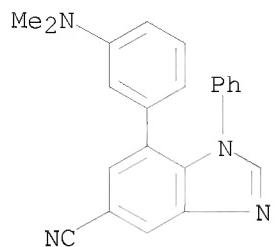
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CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(hydroxymethyl)phenyl]-1-phenyl- (CA INDEX NAME)

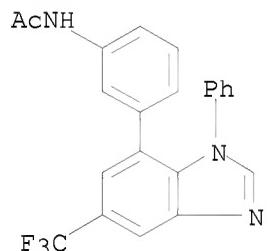


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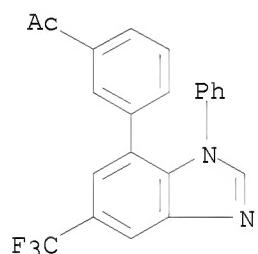
CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(dimethylamino)phenyl]-1-phenyl- (CA INDEX NAME)



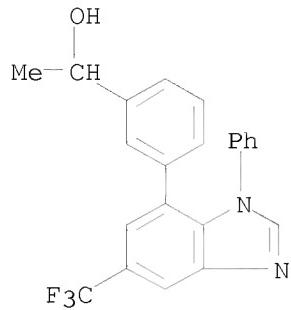
RN 851230-06-3 HCAPLUS
CN Acetamide, N-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]-
(CA INDEX NAME)



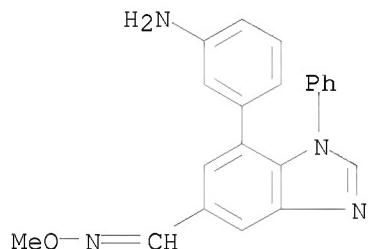
RN 851230-34-7 HCAPLUS
CN Ethanone, 1-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]-
(CA INDEX NAME)



RN 851230-44-9 HCAPLUS
CN Benzenemethanol, α -methyl-3-[1-phenyl-5-(trifluoromethyl)-1H-
benzimidazol-7-yl]- (CA INDEX NAME)



RN 851363-74-1 HCAPLUS
 CN 1H-Benzimidazole-5-carboxaldehyde, 7-(3-aminophenyl)-1-phenyl-,
 O-methyloxime (CA INDEX NAME)



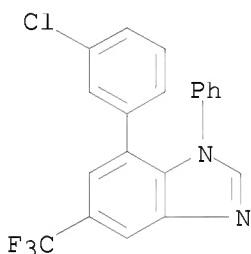
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 , 5-Cyano-7-(3-nitrophenyl)-1-phenylbenzimidazole 851229-66-8P 851229-68-0P, 5-Cyano-7-[3-[(1-methylpiperazin-4-yl)methyl]phenyl]-1-phenylbenzimidazole 851229-69-1P 851229-73-7P,
 5-Cyano-7-[3-(diethylaminomethyl)phenyl]-1-phenylbenzimidazole 851229-74-8P 851229-78-2P,
 7-(3-Acetamidophenyl)-5-cyano-1-phenylbenzimidazole 851229-82-8P,
 , 5-Cyano-7-(3-methoxyphenyl)-1-phenylbenzimidazole 851229-86-2P,
 , 5-Cyano-7-(3-fluorophenyl)-1-phenylbenzimidazole 851229-95-3P,
 7-(3-Aminophenyl)-5-hydroxymethyl-1-phenylbenzimidazole 851229-96-4P 851229-98-6P 851229-99-7P,
 5-Ethoxycarbonyl-7-[3-[(dimethylamino)methyl]phenyl]-1-phenylbenzimidazole 851230-00-7P, 5-Cyano-7-(3-cyanophenyl)-1-phenylbenzimidazole 851230-08-5P 851230-10-9P 851230-17-6P,
 7-(3-Acetamidophenyl)-5-hydroxymethyl-1-phenylbenzimidazole 851230-18-7P 851230-19-8P,
 7-(3-Dimethylaminophenyl)-5-trifluoromethyl-1-phenylbenzimidazole 851230-20-1P, 7-(3-Methylaminophenyl)-5-trifluoromethyl-1-phenylbenzimidazole 851230-21-2P,
 1-Phenyl-7-[3-[(4-methylpiperazin-1-yl)methyl]phenyl]-5-trifluoromethylbenzimidazole 851230-23-4P 851230-24-5P,
 , 7-[3-[(Dimethylamino)methyl]phenyl]-1-phenyl-5-trifluoromethylbenzimidazole 851230-27-8P,
 7-[3-(N-Methylacetamido)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole

851230-29-0P, 5-(Hydroxymethyl)-1-phenyl-7-(3-trifluoromethoxyphenyl)benzimidazole 851230-35-8P,
 7-(3-Fluorophenyl)-1-phenyl-5-trifluoromethylbenzimidazole
 851230-40-5P, 5-tert-Butyl-7-(3-dimethylaminophenyl)-1-phenylbenzimidazole 851230-41-6P 851230-43-8P,
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 7-(3-Fluorophenyl)-5-methyl-1-phenylbenzimidazole 851230-59-6P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

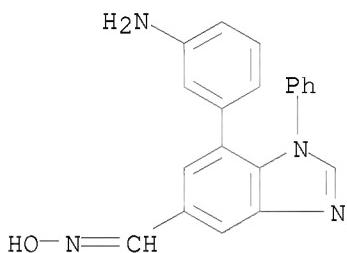
RN 851229-46-4 HCAPLUS

CN 1H-Benzimidazole, 7-(3-chlorophenyl)-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



RN 851229-47-5 HCAPLUS

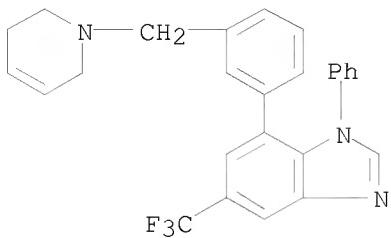
CN 1H-Benzimidazole-5-carboxaldehyde, 7-(3-aminophenyl)-1-phenyl-, oxime (CA INDEX NAME)



RN 851229-56-6 HCAPLUS

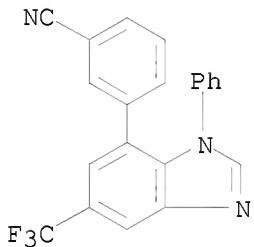
CN 1H-Benzimidazole, 7-[3-[(3,6-dihydro-1(2H)-pyridinyl)methyl]phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

11575380



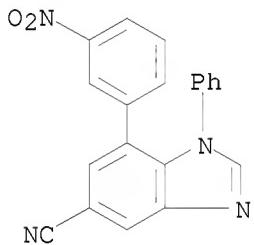
RN 851229-61-3 HCAPLUS

CN Benzonitrile, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)



RN 851229-63-5 HCAPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-(3-nitrophenyl)-1-phenyl- (CA INDEX NAME)



RN 851229-66-8 HCAPLUS

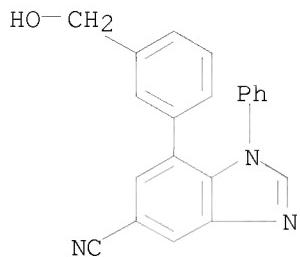
CN 1H-Benzimidazole-5-carbonitrile, 7-[3-(hydroxymethyl)phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

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CRN 851229-65-7

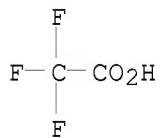
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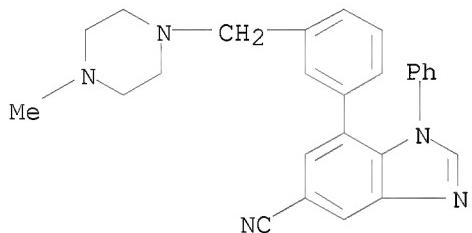


CM 2

CRN 76-05-1
CMF C2 H F3 O2



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CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]- (CA INDEX NAME)

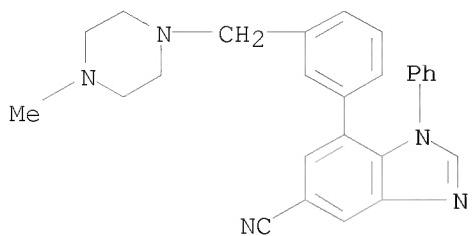


RN 851229-69-1 HCPLUS
CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

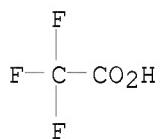
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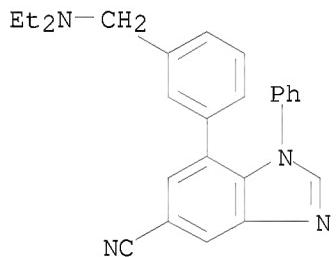


CM 2

CRN 76-05-1
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CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(diethylamino)methyl]phenyl]-1-phenyl- (CA INDEX NAME)

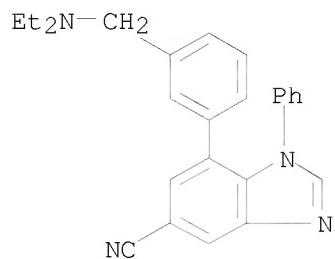


RN 851229-74-8 HCPLUS
CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(diethylamino)methyl]phenyl]-1-phenyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

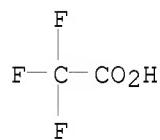
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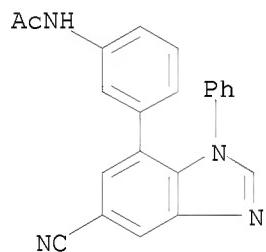


CM 2

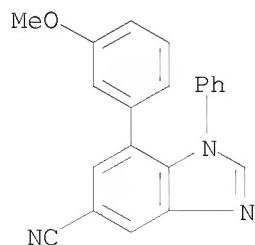
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CN Acetamide, N-[3-(5-cyano-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA INDEX
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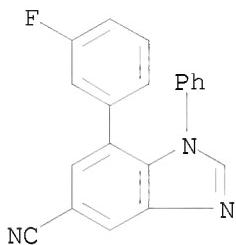


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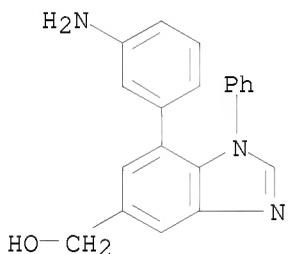


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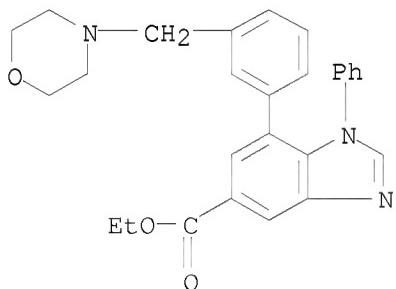
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CN 1H-Benzimidazole-5-carbonitrile, 7-(3-fluorophenyl)-1-phenyl- (CA INDEX NAME)



RN 851229-95-3 HCAPLUS
CN 1H-Benzimidazole-5-methanol, 7-(3-aminophenyl)-1-phenyl- (CA INDEX NAME)



RN 851229-96-4 HCAPLUS
CN 1H-Benzimidazole-5-carboxylic acid,
7-[3-(4-morpholinylmethyl)phenyl]-1-phenyl-, ethyl ester, hydrochloride
(1:1) (CA INDEX NAME)

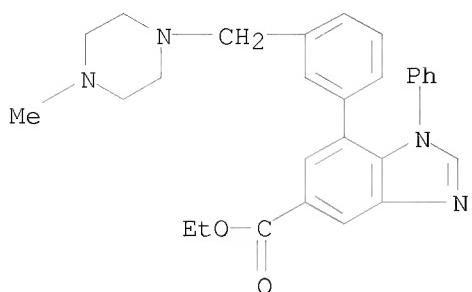


● HC1

RN 851229-98-6 HCAPLUS
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11575380

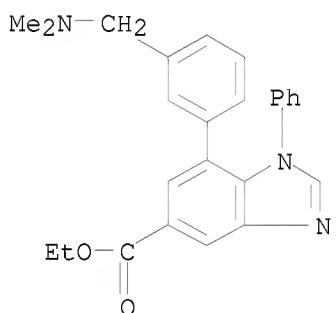
hydrochloride (1:1) (CA INDEX NAME)



● HCl

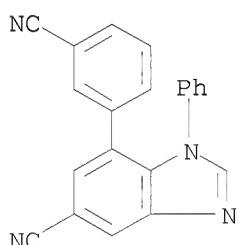
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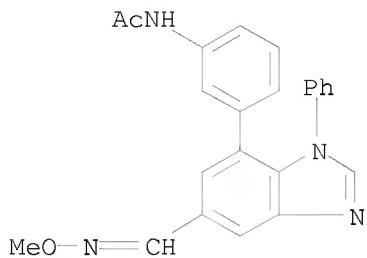
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CN 1H-Benzimidazole-5-carbonitrile, 7-(3-cyanophenyl)-1-phenyl- (CA INDEX
NAME)



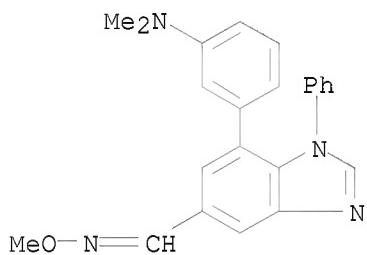
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CN Acetamide, N-[3-[5-[(methoxyimino)methyl]-1-phenyl-1H-benzimidazol-7-
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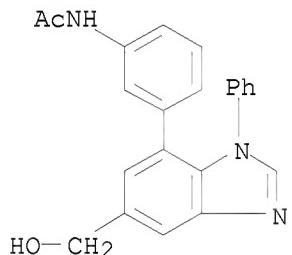
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CN 1H-Benzimidazole-5-carboxaldehyde, 7-[3-(dimethylamino)phenyl]-1-phenyl-,
O-methyloxime (CA INDEX NAME)



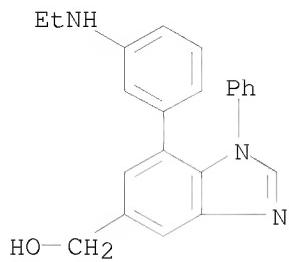
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CN Acetamide, N-[3-[5-(hydroxymethyl)-1-phenyl-1H-benzimidazol-7-yl]phenyl]-
(CA INDEX NAME)



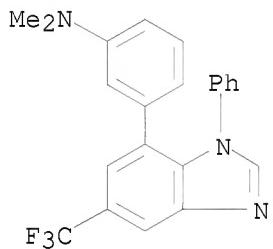
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NAME)



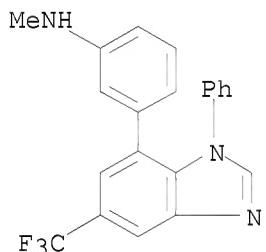
RN 851230-19-8 HCPLUS

CN Benzenamine, N,N-dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)



RN 851230-20-1 HCPLUS

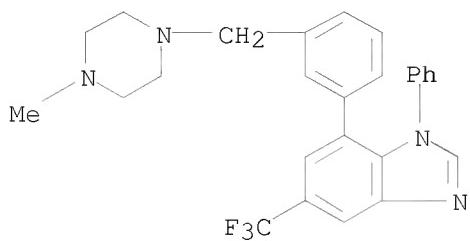
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RN 851230-21-2 HCPLUS

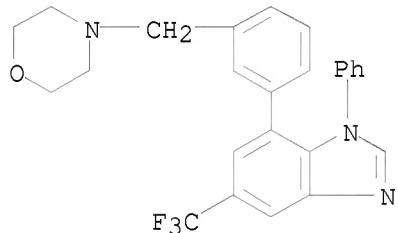
CN 1H-Benzimidazole, 7-[3-[(4-methyl-1-piperazinyl)methyl]phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

11575380



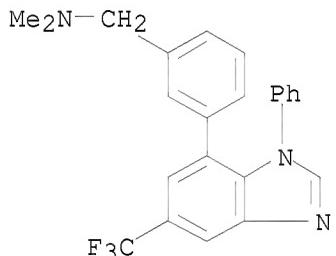
RN 851230-23-4 HCAPLUS

CN 1H-Benzimidazole, 7-[3-(4-morpholinylmethyl)phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



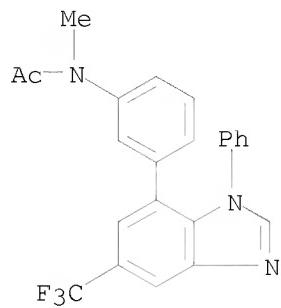
RN 851230-24-5 HCAPLUS

CN Benzenemethanamine, N,N-dimethyl-3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

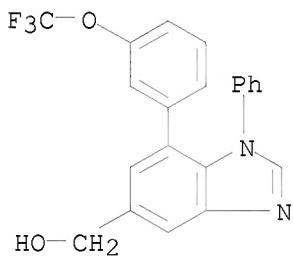


RN 851230-27-8 HCAPLUS

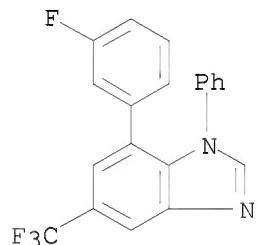
CN Acetamide, N-methyl-N-[3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]phenyl]- (CA INDEX NAME)



RN 851230-29-0 HCAPLUS
 CN 1H-Benzimidazole-5-methanol, 1-phenyl-7-[3-(trifluoromethoxy)phenyl]- (CA INDEX NAME)

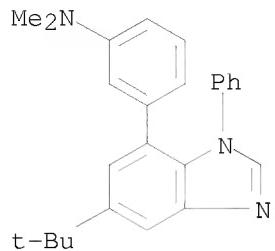


RN 851230-35-8 HCAPLUS
 CN 1H-Benzimidazole, 7-(3-fluorophenyl)-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)



RN 851230-40-5 HCAPLUS
 CN Benzenamine, 3-[5-(1,1-dimethylethyl)-1-phenyl-1H-benzimidazol-7-yl]-N,N-dimethyl- (CA INDEX NAME)

11575380



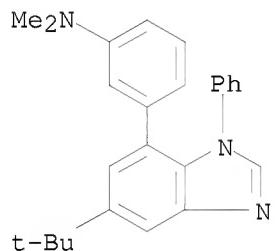
RN 851230-41-6 HCAPLUS

CN Benzenamine, 3-[5-(1,1-dimethylethyl)-1-phenyl-1H-benzimidazol-7-yl]-N,N-dimethyl-, 2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

CRN 851230-40-5

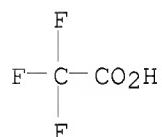
CMF C25 H27 N3



CM 2

CRN 76-05-1

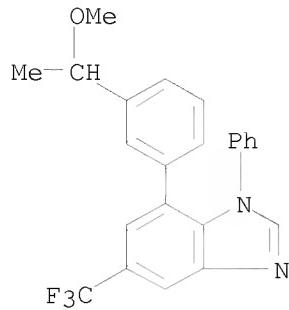
CMF C2 H F3 O2



RN 851230-43-8 HCAPLUS

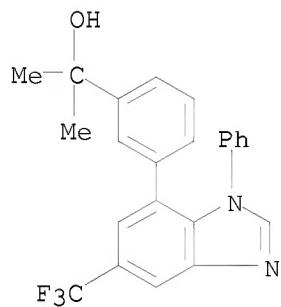
CN 1H-Benzimidazole, 7-[3-(1-methoxyethyl)phenyl]-1-phenyl-5-(trifluoromethyl)- (CA INDEX NAME)

11575380



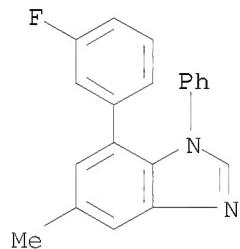
RN 851230-56-3 HCAPLUS

CN Benzenemethanol, α,α -dimethyl-3-[1-phenyl-5-(trifluoromethyl)-
1H-benzimidazol-7-yl]- (CA INDEX NAME)



RN 851230-58-5 HCAPLUS

CN 1H-Benzimidazole, 7-(3-fluorophenyl)-5-methyl-1-phenyl- (CA INDEX NAME)



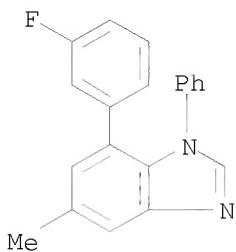
RN 851230-59-6 HCAPLUS

CN 1H-Benzimidazole, 7-(3-fluorophenyl)-5-methyl-1-phenyl-,
2,2,2-trifluoroacetate (1:1) (CA INDEX NAME)

CM 1

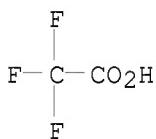
CRN 851230-58-5

CMF C20 H15 F N2



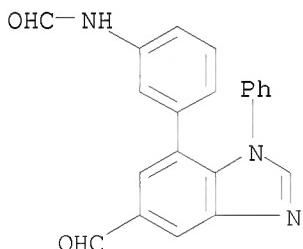
CM 2

CRN 76-05-1
 CMF C2 H F3 O2



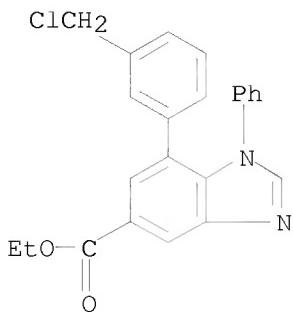
IT 851229-49-7P, 7-[3-(Formylamino)phenyl]-5-formyl-1-phenylbenzimidazole 851229-97-5P,
 5-Ethoxycarbonyl-7-[3-(chloromethyl)phenyl]-1-phenylbenzimidazole
 851230-22-3P, 7-[3-(Chloromethyl)phenyl]-1-phenyl-5-trifluoromethylbenzimidazole
 RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of 1,5,7-trisubstituted benzimidazole derivs. useful as modulator of GABAA receptor)

RN 851229-49-7 HCPLUS
 CN Formamide, N-[3-(5-formyl-1-phenyl-1H-benzimidazol-7-yl)phenyl]- (CA INDEX NAME)



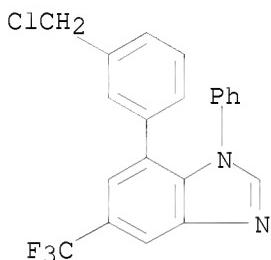
RN 851229-97-5 HCPLUS
 CN 1H-Benzimidazole-5-carboxylic acid, 7-[3-(chloromethyl)phenyl]-1-phenyl-, ethyl ester (CA INDEX NAME)

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RN 851230-22-3 HCPLUS

CN 1H-Benzimidazole, 7-[3-(chloromethyl)phenyl]-1-phenyl-5-(trifluoromethyl)-
(CA INDEX NAME)

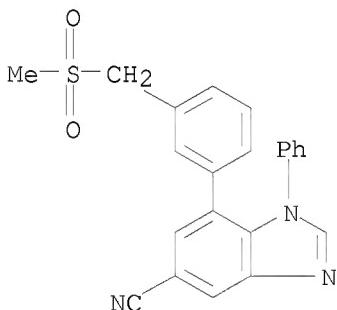


IT 851229-76-0

RL: RCT (Reactant); RACT (Reactant or reagent)
(reactant; preparation of 1,5,7-trisubstituted benzimidazole derivs. useful
as modulator of GABAA receptor)

RN 851229-76-0 HCPLUS

CN 1H-Benzimidazole-5-carbonitrile, 7-[3-[(methylsulfonyl)methyl]phenyl]-1-
phenyl- (CA INDEX NAME)



REFERENCE COUNT:

2

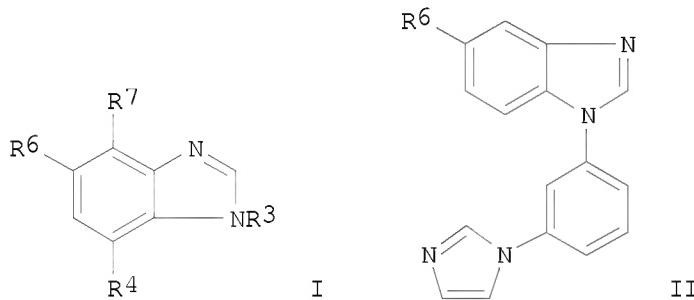
THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS
RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L12 ANSWER 2 OF 3 HCPLUS COPYRIGHT 2008 ACS on STN
ACCESSION NUMBER: 1996:580566 HCPLUS

DOCUMENT NUMBER: 125:300997
 ORIGINAL REFERENCE NO.: 125:56339a, 56342a
 TITLE: Benzimidazole compounds useful as benzodiazepine receptor ligands
 INVENTOR(S): Teuber, Lene; Axelsson, Oskar; Watjen, Frank
 PATENT ASSIGNEE(S): Neurosearch A/s, Den.; Meiji Seika Kaisha, Ltd.
 SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 207,774, abandoned.
 CODEN: USXXAM
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 2
 PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|------|----------|-----------------|-------------|
| US 5554630 | A | 19960910 | US 1995-410572 | 19950324 |
| ZA 9402079 | A | 19941024 | ZA 1994-2079 | 19940324 |
| US 5554632 | A | 19960910 | US 1994-352585 | 19941209 |
| PRIORITY APPLN. INFO.: | | | DK 1993-337 | A 19930324 |
| | | | DK 1993-1055 | A 19930921 |
| | | | US 1994-207774 | B2 19940308 |

OTHER SOURCE(S): MARPAT 125:300997
 GI



AB The invention discloses title compds. I [R3 = certain (un)substituted (hetero)aryl groups; R4 = H, NH2, NO2, cyano, halo, acylamino, (un)substituted aryl; or R4 forms bridges to aryl ring of R3; R6, R7 = H, halo, NH2, NO2, cyano, acylamino, CF3, (un)substituted aryl; or R6 and R7 form certain optionally heteroatom-containing bridges] and their pharmaceutically acceptable salts, as well as the medical use of a broader class of 1-arylbenzimidazoles, including I. The compds. are useful for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders, and memory disorders. For example, 2-amino-3'-iodo-4-(trifluoromethyl)diphenylamine (preparation given) underwent cyclocondensation with formic acid at reflux, and coupling with imidazole in the presence of K2CO3 and CuBr at 200°, to give title compound II [R6 = CF3]. In an in-vivo test for inhibition of [³H]-flunitrazepam specific binding to mouse forebrain GABA receptors, II [R6 = CF3] had an ED₅₀ of 7.3 mg/kg i.p., and II [R6 = Me] had an ED₅₀ of 0.8 mg/kg i.p.

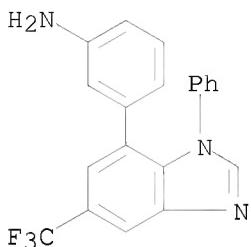
IT 159726-00-8P 159726-01-9P

11575380

RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of benzimidazole derivs. as benzodiazepine receptor ligands)

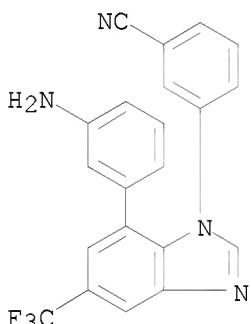
RN 159726-00-8 HCAPLUS

CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)



RN 159726-01-9 HCAPLUS

CN Benzonitrile, 3-[7-(3-aminophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)



L12 ANSWER 3 OF 3 HCAPLUS COPYRIGHT 2008 ACS on STN

ACCESSION NUMBER: 1995:252476 HCAPLUS

DOCUMENT NUMBER: 122:31527

ORIGINAL REFERENCE NO.: 122:6227a,6230a

TITLE: Preparation of benzimidazole derivatives for the treatment of central nervous system disorders.

INVENTOR(S): Axelsson, Oskar; Teuber, Lene; Watjen, Frank

PATENT ASSIGNEE(S): Neurosearch A/S, Den.; Meiji Seika Kaisha Ltd.

SOURCE: Eur. Pat. Appl., 35 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent

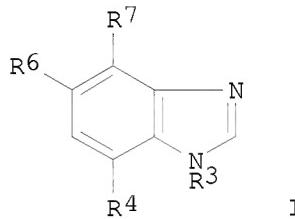
LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

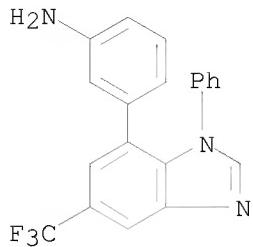
| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|-------|-----------------|-------|
| ----- | ---- | ----- | ----- | ----- |

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|---|------------------|----------|-----------------|------------|
| EP 616807 | A1 | 19940928 | EP 1994-610012 | 19940311 |
| EP 616807 | B1 | 19980708 | | |
| R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE | | | | |
| AU 9457521 | A | 19940929 | AU 1994-57521 | 19940303 |
| AU 675484 | B2 | 19970206 | | |
| AT 168007 | T | 19980715 | AT 1994-610012 | 19940311 |
| ES 2119124 | T3 | 19981001 | ES 1994-610012 | 19940311 |
| CA 2119511 | A1 | 19940925 | CA 1994-2119511 | 19940321 |
| CA 2119511 | C | 20020716 | | |
| NO 9401052 | A | 19940926 | NO 1994-1052 | 19940323 |
| CN 1099391 | A | 19950301 | CN 1994-103348 | 19940323 |
| CN 1057088 | C | 20001004 | | |
| FI 9401378 | A | 19940925 | FI 1994-1378 | 19940324 |
| FI 113651 | B1 | 20040531 | | |
| ZA 9402079 | A | 19941024 | ZA 1994-2079 | 19940324 |
| JP 07002838 | A | 19950106 | JP 1994-78094 | 19940324 |
| JP 3466265 | B2 | 20031110 | | |
| PRIORITY APPLN. INFO.: | | | DK 1993-337 | A 19930324 |
| | | | DK 1993-1055 | A 19930921 |
| OTHER SOURCE(S): | MARPAT 122:31527 | | | |
| GI | | | | |

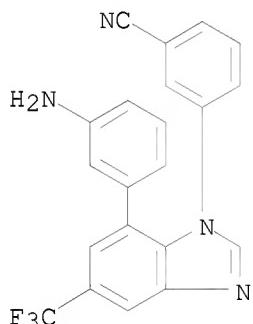


- AB Benzimidazole compds. I (R3 = substituted Ph, pyridinyl, etc.; R4 = H, amino, nitro, etc.; R6, R7 = H, halo, cyano, nitro, etc.) were disclosed for the treatment of various central nervous system disorders such as epilepsy and other convulsive disorders, anxiety, sleep disorders and memory disorders.
- IT 159726-00-8P 159726-01-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (preparation of benzimidazole derivs. GABA receptor antagonists or agonists)
- RN 159726-00-8 HCPLUS
- CN Benzenamine, 3-[1-phenyl-5-(trifluoromethyl)-1H-benzimidazol-7-yl]- (CA INDEX NAME)

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RN 159726-01-9 HCAPLUS
CN Benzonitrile, 3-[7-(3-aminophenyl)-5-(trifluoromethyl)-1H-benzimidazol-1-yl]- (CA INDEX NAME)



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|--|------------|---------|--|
| COST IN U.S. DOLLARS | SINCE FILE | TOTAL | |
| | ENTRY | SESSION | |
| FULL ESTIMATED COST | 19.04 | 596.01 | |
| DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS) | SINCE FILE | TOTAL | |
| CA SUBSCRIBER PRICE | ENTRY | SESSION | |
| | -2.40 | -7.20 | |

STN INTERNATIONAL LOGOFF AT 14:00:00 ON 19 NOV 2008